Claims

1. A precursor molecule of the formula

[MABB-$(AA)_n$-NuBB], wherein

MABB is a masked aldehyde building block of the formula:

[MA-L_1-AG-], wherein

MA is a masked aldehyde,

L_1 is an aryl or alkyl comprising x covalently linked atoms selected from the group consisting of C, N, O and S, wherein x is an integer in the range of 0 to 10, and wherein said aryl ring or alkyl chain may be substituted independently on each position, and wherein the atom most proximal to the CO group is a carbon atom,

AG is an acidic group capable of forming an amide bond,

AA is an amino acid of the formula -$NHCR^1R^2CO$- and n is an integer in the range of 0 to 5,

NuBB is a nucleophile building block of the formula

[-NH-L_2-Nu-], wherein

-NH is an amino group that form the amide bond with AA or when n is 0 with AG,

L_2 is an alkyl comprising in the range of 1 to 4 covalently linked atoms selected from the group consisting of C, N, O and S, wherein each atom may be independently substituted,

Nu is a nucleophilic chemical entity comprising a π system,comprising an N, O or S atom or a chemical entity which is substituted with an N, O or S atom.

wherein NuBB is linked to $(AA)_n$ or if n=0 to MABB via an amide bond and with the proviso, that when x=0, then n is at least 1,

and wherein the masked aldehyde may be transformed into a free aldehyde, and the free aldehyde group is capable of interacting intramolecularly with an amide group, thereby forming an N-acyliminium ion,

and wherein said N-acyliminium ion is capable of acting as an electrophile for intramolecular reaction with said nucleophilic chemical entity,

and wherein said precursor molecule is attached to a solid support.

2. The precursor according to claim 1, wherein the nucleophilic chemical entity is capable of participating in a Pictet-Spengler reaction, or a cyclization process involving a electronrich double or triple bond to form a new covalent bond, thereby forming a heterocyclic organic compound comprising at least 2 fused rings designated A and B, wherein ring A incorporates a carbonyl group and ring A and B shares at least one N atom.

3. The precursor according to claim 2, wherein the new covalent bond is a C-C bond.

4. The precursor according to claim 1, wherein the nucleophile chemical entity comprises one or more electron donating groups, and/or one or more nucleophilic heteroatoms selected from the group consisting of hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, mono-, di-, and trisubstituted aromatic and heteroaromatic rings, alkenes, alkynes and combinations thereof.

5. The precursor according to claim 1, wherein said nucleophilic chemical entity is selected from the group consisting of chemical entities comprising a functional group selected from the group consisting of -NHR, -NH_2, Alkyl-SH, Aryl-SH, Alkyl-OH, Aryl-OH, mono-, di-, and trisubstituted aromatic and heteroaromatic rings, alkenes and alkynes

6. The precursor according to claim 5, wherein said aromatic or heteroaromatic ring is selected from the group consisting of arenes, pyrroles, indoles, thiophenes, and furanes.

7. The precursor according to claim 5, wherein said aromatic ring or alkenes is substituted by one or more selected from the group consisting of substituents comprising or consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, and silyloxy.

8. The precursor according to claim 1, wherein the masked aldehyde is an aldehyde protected by an aldehyde protecting group.

9. The precursor according to claim 8, wherein the aldehyde protecting group may be removed by acid treatment, alkaline treatment, fluoridolysis or hydrogenolysis.

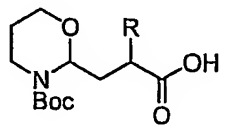
10. The precursor according to claim 8, wherein the aldehyde protecting group may be removed by treatment with acid.

11. The precursor according to claim **10**, wherein the acid is selected from the group consisting of Brønsted acids and Lewis acids.

12. The precursor according to claim **11**, wherein the Brønsted acid is selected from the group consisting of acetic acid, formic acid, CSA, PTSA, TFA, TCA, HCl and mono- or dichloroacetic acid.

13. The precursor according to claim 8, wherein the aldehyde protecting group is selected from the group consisting of N-Boc N,O-acetals, di-Boc N,N-acetals, N-Boc N,S-acetals, di-O-acetals, di-S-acetals, S,O-acetals, F-moc and triakylsilyl.

14. The precursor according to claim 1, wherein the masked aldehyde has the structure



15. The precursor according to claim 1, wherein the masked aldehyde has the formula –CO-X, wherein X is not –H.

16. The precursor according to claim **15**, wherein X is selected from the group consisting of alkoxy, alkylthio and alkylamino.

17. The precursor according to claim **15**, wherein the masked aldehyde is selected from the group consisting of esters, thiolesters, amides and Weinreb amids.

18. The precursor according to claim 1, wherein the masked aldehyde is protected as an alcohol either free or protected by an alcohol protecting group.

19. The precursor according to claim 18, wherein the alcohol protecting group is selected from the group consisting of common silyl protecting groups, alkyl protecting groups and acyl protecting groups.

20. The precursor according to claim **19**, wherein the silyl protecting group is selected from the group consisting of TBDMS, TBDPS, TIPS, TES and TMS.

21. The precursor according to claim 19, wherein the alkyl protecting group is selected from the group consisting of Bzl, tBu, Trt, MOM, MEM, BOM, Bn and mono- or polysubstituted benzylethers.

22. The precursor according to claim 19, wherein the acyl protecting group is selected from the group consisting of Acetyl, substituted acetyl and benzoyl.

23. The precursor according to claim 18, wherein the said alcohol may be deprotected by treatment with acid, base, fluoridolysis or hydrogenolysis, and subsequently transformed into an aldehyde by oxidation.

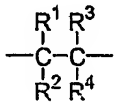
24. The precursor according to claim **23**, wherein the acid is selected from the group consisting of Brønsted acids and Lewis acids.

25. The precursor according to claim **24**, wherein the Brønsted acid is selected from the group consisting of acetic acid, formic acid, CSA, PTSA, TFA, TCA, HCl and mono- or dichloroacetic acid.

26. The precursor according to claim **1**, wherein L_1 is an alkyl chain.

27. The precursor according to claim **26**, wherein x is 2.

28. The precursor according to claim 1, wherein L_1 has the structure



wherein R^1, R^2, R^3 and R^4 independently may be selected from the group of functionalities consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

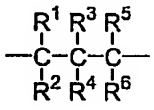
29. The precursor according to claim **28**, wherein R1 and R2 independently are selected from the group consisting of –H, alkyl phenyl, aryl phenyl substituted with halogen or halomethyl, alkoxy acyl amino, amino and alkyls.

30. The precursor according to claim **29**, wherein alkyl is selected from the group consisting of linear alkyl, branched alkyl and cyclic alkyls.

31. The precursor according to claim **29**, wherein the alkyl comprises in the range of 1 to 6 carbon atoms.

32. The precursor according to claim **26**; wherein x is 3.

33. The precursor according to claim 1, wherein wherein L_1 has the structure

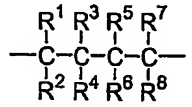


wherein R^1, R^2, R^3, R^4, R^5 and R^6 independently may be selected from the group consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino , amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

34. The precursor according to claim 33, wherein alkyl is selected from the group consisting of linear alkyl, branched alkyl and cyclic alkyls.

35. The precursor according to claim 33, wherein R1, R2, R3, R4, R5 and R6 independently are selected from the group consisting of –H, -OH and amino.

36. The precursor according to claim 1, wherein L_1 has the structure



wherein R^1, R^2, R^3, R^4, R^5, R^6, R^7 and R^8 independently may be selected from the group of functionalities consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

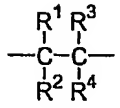
37. The precursor according to claim 1, wherein the acidic group is selected from the group consisting of -CO (carbonyl), -CS, $-SO_2H$, $-SO_3H$, $-PO_2H$ and $-PO_3H$

38. The precursor according to claim 1, wherein the amide group is selected from the group consisting of carbonyl amide, thiocarbonyl amide, phosphinic amide, phosphonic amide, sulfonic acid amide and sulfinic acid amide.

39. The precursor according to claim 1, wherein AA is an amino acid selected from the group consisting of naturally occurring amino acids, unnatural α-amino acids, and unnatural β-amino acids.

40. The precursor according to claim 1, wherein n is 0.

41. The precursor according to claim 1, wherein L_2 has the structure



wherein R^1, R^2, R^3 and R^4 independently may be selected from the group consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

42. The precursor according to claim **41**, wherein alkyl is selected from the group consisting of linear alkyl, branched alkyl and cyclic alkyls.

43. The precursor according to claim 41, wherein R^2, R^3 and R^4 are –H, and R^1 is selected from the group consisting of amides and peptides, optionally substituted with one or more groups.

44. The precursor according to claim **41**, wherein R^2, R^3 and R^4 are –H, and R^1 is selected from the group consisting of amides and peptides, wherein said amide or peptide is covalently linked to a solid support via a caboxyl group.

45. The precursor according to claim 1, wherein said heterocyclic organic compound comprises 3 fused rings.

46. The precursor according to claim 45, wherein the fused rings are substituted with one or more selected from the group consisting of H, hydroxy, alkoxy, ary-

loxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, and silyloxy,

47. The precursor according to claim **45**, wherein the heterocyclic organic compound comprises one ring derived from the nucleophile chemical entity.

48. The precursor according to claim 1, wherein said heterocyclic organic compound comprises 4 fused rings.

49. The precursor according to claim **48**, wherein the heterocyclic organic compound comprises two fused rings derived from the nucleophile chemical entity.

50. The precursor according to claim 1, wherein ring A is a lactam.

51. The precursor according to claim 1, wherein ring A is a in the range of 4 to 11 membered heterocycle, preferably in the range of 5 to 8 membered heterocycle.

52. The precursor according to claim 1, wherein ring B is a 7 membered heterocycle.

53. The precursor according to claim 1, wherein ring B is a 6 membered heterocycle.

54. The precursor according to claim 1, wherein ring B is a 5 membered heterocycle.

55. The precursor according to claim 1, wherein the precursor is covalently attached to said solid support.

56. The precursor according to claim 1, wherein the solid support is a resin bead.

57. The precursor according to claim 1, wherein the solid support is a resin bead comprising polyethylene glycol (PEG).

58. The precursor according to claim 57, wherein said resin is selected from the group consisting of PEGA, POEPOP, SPOCC, POEPS, Tentagel® and Jandagel®

59. A method of preparing a precursor molecule according to any of claims 1 to 58, comprising the steps of

i) Providing a masked aldehyde building block (MABB) of the formula:

$[MA\text{-}L_1\text{-}AG_2]$, wherein

MA is a masked aldehyde protected by an aldehyde protecting group,

L_1 is an aryl or alkyl comprising x covalently linked atoms selected from the group consisting of C, N, S and O that may be substituted independently on each position, wherein x is an integer in the range of 1 to 10 wherein the atom most proximal to the CO group is a carbon atom,

AG_2 is an acidic group capable of reacting with an amino group to form an amide,

ii) Providing a molecule of the structure $[\text{-}(AA)_n\text{-}NuBB]$, wherein

AA is an amino acid and n is an integer in the range of 0 to 5,

NuBB is a nucleophile building block of the formula

$[\text{-}NH\text{-}L_2\text{-}Nu\text{-}]$, wherein

-NH- is the amino group that form an amide bond with AA or when n is 0 –NH- is an $-NH_2$ group capable of forming an amide with AG_2,

L_2 is an alkyl comprising in the range of 1 to 4 covalently linked atoms selected from the group consisting of C, N, O and S, wherein each atom may be independently substituted,

Nu is a nucleophilic chemical entity comprising a π system comprising an N, O or S atom or a chemical entity which is substituted with an N, O or S atom,

wherein $(AA)_n$ is linked to NuBB via an amide bond,

and wherein said molecule is covalently attached to a solid support

v) Reacting said MABB with said molecule, thereby forming an amide bond between said MABB and said molecule

iv) Thereby obtaining a precursor molecule.

60. The method according to claim 59, wherein reacting said MABB with said molecule comprises incubation in the presence of TBTU.

61. The method according to claim 59, wherein the nucleophile chemical entity comprises one or more electron donating groups, and/or one or more nucleophilic heteroatoms selected from the group consisting of hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, mono-, di-, and trisubstituted aromatic and heteroaromatic rings, alkenes, alkynes and combinations thereof.

62. The method according to claim 59, wherein said nucleophilic chemical entity is selected from the group consisting of chemical entities comprising a functional group selected from the group consisting of -NHR, $-NH_2$, Alkyl-SH, Aryl-SH, Alkyl-OH, Aryl-OH, mono-, di-, and trisubstituted aromatic and heteroaromatic rings, alkenes and alkynes

63. The method according to claim 59, wherein said aromatic or heteroaromatic ring is selected from the group consisting of arenes, benzothiophenes, benzofurans, isoindoles, 1,3-azoles, imidazoles, thiazoles, oxazoles, 1,2-azoles, pyrazoles, isothiazoles, isoxazoles, isoxazolines, purines, indolizines, quinolizines, pyrroli-

zines, 1,2,3-triazoles, 1,2,4-triazoles, pyridines, quinolines, quinolines, isoquinolines, pyridazines, pyrimidines, pyrazines, pyrroles, indoles, thiophenes and furanes.

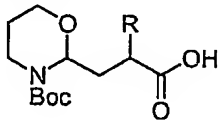
64. The method according to claim **59**, wherein said aromatic ring or alkenes is substituted by one or more selected from the group consisting of substituents comprising or consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, and silyloxy,

65. The method according to claim **59**, wherein the masked aldehyde is an aldehyde protected by an aldehyde protecting group.

66. The method according to claim 65, wherein the aldehyde protecting group may be removed by acid treatment, alkaline treatment, fluoridolysis or hydrogenolysis.

67. The method according to claim **65**, wherein the aldehyde protecting group is selected from the group consisting of N-Boc N,O-acetals, di-Boc N,N-acetals, N-Boc N,S-acetals, N-F-moc N,O-acetals, di- F-moc N,N-acetals, N- F-moc N,S-acetals, of N-triakylsilyl N,O-acetals, di-triakylsilyl N,N-acetals, N- trialkylsilyl N,S-acetals, di-O-acetals, di-S-acetals and S,O-acetals.

68. The method according to claim **59**, wherein the protected aldehyde has the structure



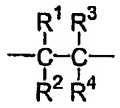
69. The method according to claim **59**, wherein the protected aldehyde has the formula –CO-X, wherein X is not –H.

70. The method according to claim **69**, wherein X is selected from the group consisting of alkoxy, alkylthio and alkylamino.

71. The method according to claim **59**, wherein the protected aldehyde is an alcohol either free or protected by an alcohol protecting group.

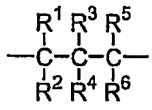
72. The method according to claim **59**, wherein L_1 is an alkyl chain.

73. The method according to claim **59**, wherein L_1 has the structure



wherein R^1, R^2, R^3 and R^4 independently may be selected from the group of functionalities consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

74. The method according to claim 59, wherein wherein L_1 has the structure



wherein R^1, R^2, R^3, R^4, R^5 and R^6 independently may be selected from the group consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned

may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

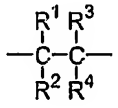
75. The method according to claim **59**, wherein AG_2 is selected from the group consisting of carboxylic acid, carboxylic acid halogenid, sulfonyl halogenid and phosphonyl halogenid.

76. The method according to claim **59**, wherein the amide is selected from the group consisting of carbonyl amide, thiocarbonyl amide, phosphinic amide, phosphonic amide, sulfonic acid amide and sulfinic acid amide.

77. The method according to claim **59**, wherein AA is an amino acid selected from the group consisting of naturally occurring amino acids, unnatural α-amino acids, and unnatural β-amino acids.

78. The method according to claim 59, wherein n is 0.

79. The method according to claim 59, wherein L_2 has the structure



wherein R^1, R^2, R^3 and R^4 independently may be selected from the group consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, amides, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, fused heterocycles and mixtures thereof, wherein each of the aforementioned may be substituted with one or more groups selected from the group consisting of –H, –OH, -SH, halogen, carboxyl, carbonyl, alkoxy, aryloxy, acyloxy, alkylthio,

arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, silyloxy, keto, heterocycles, fused ring systems, and fused heterocycles.

80. The method according to claim 59, wherein the solid support is a resin bead.

81. The method according to claim **80**, wherein the solid support is a resin bead comprising polyethylene glycol (PEG).

82. A method of preparing a heterocyclic organic compound comprising at least 2 fused rings designated A and B, wherein ring A incorporates a carbonyl group and ring A and B shares at least one N atom, said method comprising the steps of

a) Providing a precursor molecule of the formula:

[MABB-$(AA)_n$-NuBB], wherein

MABB is a masked aldehyde building block of the formula:

[MA-L_1-AG-], wherein

MA is a masked aldehyde,

L_1 is an aryl or alkyl comprising x covalently linked atoms selected from the group consisting of C, N, O and S, wherein x is an integer in the range of 0 to 10, and wherein said aryl ring or alkyl chain may be substituted independently on each position, and wherein the atom most proximal to the CO group is a carbon atom,

AG is an acidic group capable of forming an amide bond,

AA is an amino acid of the formula -NHCR^{1}R^{2}CO- and n is an integer in the range of 0 to 5,

NuBB is a nucleophile building block of the formula

[-NH-L_2-Nu-], wherein

-NH is an amino group that form an amide bond with AA or when n is 0 with AG,

L_2 is an alkyl comprising in the range of 1 to 4 covalently linked atoms selected from the group consisting of C, N, O and S, wherein each atom may be independently substituted,

Nu is a nucleophilic chemical entity comprising a π system,

wherein NuBB is linked to $(AA)_n$ or if n=0 to MABB via an amide bond and with the proviso, that when x=0, then n is at least 1,

and wherein the masked aldehyde may be transformed into a free aldehyde, and the free aldehyde group is capable of interacting intramolecularly with an amide group, thereby forming an N-acyliminium ion,

and wherein said N-acyliminium ion is capable of acting as an electrophile for intramolecular reaction with said nucleophilic chemical entity,

and wherein said precursor molecule is attached to a solid support.

b) Transforming the masked aldehyde into a free aldehyde

c) Reacting said free aldehyde with an amide group within said precursor molecule, thereby obtaining an N-acyliminium ion, wherein said N-acyliminium ion is capable of acting as an electrophile

d) Performing an intramolecular nucleophilic reaction involving the N-acyliminium ion and the nucleophilic chemical entity forming a new covalent bond, thereby obtaining said cyclic organic compound.

83. The method accordring to claim 82, wherein the precursor molecule is the precursor molecule according to any of claims 1 to 58.

84. The method according to 82, wherein the intramolecular nucleophilic reaction is a Pictet Spengler reaction.

85. The method according to 82, wherein transforming the masked aldehyde into a free aldehyde comprises acid treatment, alkaline treatment, fluoridolysis or hydrogenolysis.

86. The method according to claim 82, wherein transforming the masked aldehyde into a free aldehyde comprises treatment with acid.

87. The method according to claim 86, wherein the acid is selected from the group consisting of Brønsted acids and Lewis acids.

88. The method according to claim 87, wherein the Brønsted acid is selected from the group consisting of acetic acid, formic acid, CSA, PTSA, TFA, TCA, HCl and mono- or dichloroacetic acid.

89. The method according to claim 82, wherein transforming the masked aldehyde into a free aldehyde comprises oxidation of an alcohol group to obtain a free aldehyde.

90. The method according to claim 82, wherein transforming the masked aldehyde into a free aldehyde comprises removing an alcohol protecting group, thereby obtaining a free alcohol and oxidation of said alcohol to obtain a free aldehyde.

91. The method according to claim 90, wherein the said alcohol protecting group may be removed by treatment with acid, base, fluoridolysis or hydrogenolysis, and subsequently transformed into an aldehyde by oxidation.

92. The method according to claim 82, wherein said heterocyclic organic compound comprises 3 fused rings.

93. The method according to claim 92, wherein the heterocyclic compound is substituted with one or more selected from the group consisting of H, hydroxy, alkoxy, aryloxy, acyloxy, thiol, alkylthio, arylthio, heteroarylthio, sulphonyl, sulphoxy, amino, alkylamino, dialkylamino, acylamino, diacylamino, alkoxycarbonylamino, alkyl, branched alkyl, aryl, heteroaryl, nitro, cyano, halogeno, and silyloxy,

94. The method according to claim 92, wherein the heterocyclic organic compound comprises one ring derived from the nucleophile chemical entity.

95. The method according to claim 82, wherein said heterocyclic organic compound comprises 4 fused rings.

96. The method according to claim 95, wherein the heterocyclic organic compound comprises two fused rings derived from the nucleophile chemical entity.

97. The method according to claim 82, wherein ring A is a lactam.

98. The method according to claim 82, wherein ring A is a in the range of 4 to 11 membered heterocycle, preferably in the range of 5 to 8 membered heterocycle.

99. The method according to claim 82, wherein ring B is a 7 membered heterocycle

100. The method according to claim 82, wherein ring B is a 6 membered heterocycle.

101. The method according to claim 82, wherein ring B is a 5 membered heterocycle.

102. The method according to claim 82, wherein the precursor is covalently attached to said solid support.

103. The method according to claim 102, wherein the solid support is a resin bead.

104. The method according to claim 103, wherein the solid support is a resin bead comprising polyethylene glycol (PEG).

105. The method according to claim 103, wherein said resin is selected from the group consisting of PEGA, POEPOP, SPOCC, POEPS, Tentagel® and Jandagel®

106. The method according to any of claims 102 to 105, wherein the heterocyclic compound obtained by said method is covalently coupled to said solid support.

107. A method of preparing a heterocyclic organic compound comprising at least 2 fused rings designated A and B, wherein said method comprises the steps of

a) performing the method according to any of claims 82 to 106, thereby obtaining a heterocyclic organic compound comprising at least one carbonyl group; and
b) deoxygenating the heterocyclic organic compound comprising at least one carbonyl group;
c) thereby obtaining a heterocyclic organic compound comprising at least two fused rings.

108. A method of preparing a library comprising at least 2 different cyclic organic compounds each comprising at least 2 fused rings designated A and B, wherein ring A is substituted with a carbonyl group and ring A and B shares at least one N atom, said method comprising the steps of

a) Providing at least 2 different precursor molecules of the formula:

[MABB-$(AA)_n$-NuBB], wherein

MABB is a masked aldehyde building block of the formula:

[MA-L_1-AG-], wherein

MA is a masked aldehyde,

L_1 is an aryl or alkyl comprising x covalently linked atoms selected from the group consisting of C, N, O and S, wherein x is an integer in the range of 0 to 10, and wherein said aryl ring or alkyl chain may be substituted independently on each position, and wherein the atom most proximal to the CO group is a carbon atom,

AG is an acidic group capable of forming an amide bond,

AA is an amino acid of the formula -NHCR^1R^2CO- and n is an integer in the range of 0 to 5,

NuBB is a nucleophile building block of the formula

[-NH-L_2-Nu-], wherein

-NH is an amino group that form an amide bond with AA or when n is 0 with AG,

L_2 is an alkyl comprising in the range of 1 to 4 covalently linked atoms selected from the group consisting of C, N, O and S, wherein each atom may be independently substituted,

Nu is a nucleophilic chemical entity comprising a π system,

wherein NuBB is linked to $(AA)_n$ or if n=0 to MABB via an amide bond and with the proviso, that when x=0, then n is at least 1,

and wherein the masked aldehyde may be transformed into a free aldehyde, and the free aldehyde group is capable of interacting intramolecularly with an amide group, thereby forming an N-acyliminium ion,

and wherein said N-acyliminium ion is capable of acting as an electrophile for intramolecular reaction with said nucleophilic chemical entity,

and wherein said precursor molecule is attached to a solid support.

b) performing the method according to any of claims 82 to 106 for each of said precursor molecules

c) thereby obtaining a library comprising at least 2 different cyclic organic compounds.

109. The method according to claim 108, wherein the precursor molecule is a precursor molecule according to any of claims 1 to 58.

110. The method according to claim 108, wherein said library comprises at least 10, such as at least 20, for example at least 30, such as at least 40, for example at least 50, such as at least 100, for example at least 500, such as at least 1000 different heterocyclic organic compounds.

111. The method according to claim 108, wherein all precursor molecules provided comprise identical scaffolds, which are differentially substituted.

112. The method according to claim 108, wherein all precursor molecules provided comprise identical masked aldehydes.

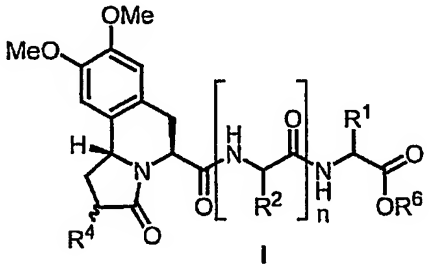
113. The method according to claim 108, wherein the library is prepared using parallel synthesis.

114. Library of heterocyclic compounds, wherein said compounds comprises at least 2 fused rings designated A and B, wherein ring A is substituted with a carbonyl group and ring A and B shares at least one N atom, and wherein a sequence of one or more amino acids is covalently linked to said fused rings,

wherein said library is prepared by the method according to any of claims 108 to 113, and wherein said heterocyclic compounds are linked to a solid support.

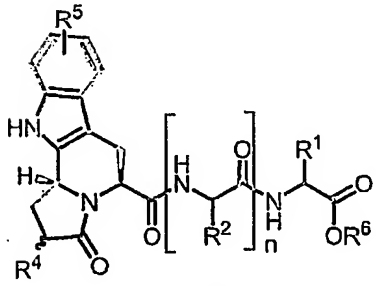
115. The library according to claim 113, wherein said library comprises at least 20, for example at least 30, such as at least 40, for example at least 50, such as at least 100, for example at least 500, such as at least 1000 different heterocyclic organic compounds.

116. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



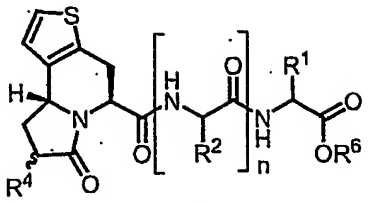
I

117. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



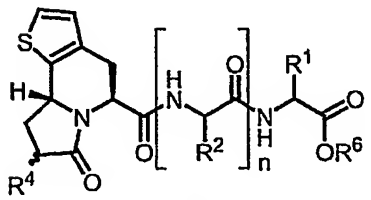
II

118. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



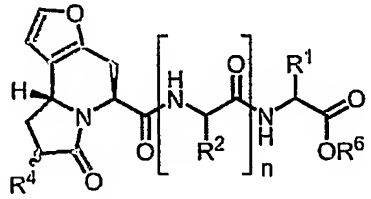
III

119. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



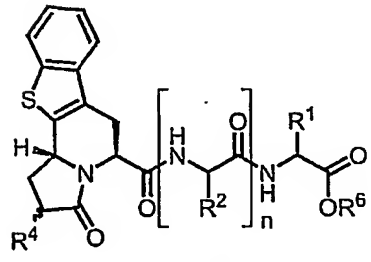
IV

120. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



V

121. The library according to any of claims 114 and 115, wherein the library comprises or consists of compounds of the general formula:



VI

122. The library according to any of claims 114 and 115, wherein said solid support is resin beads.

123. The library according to claim 122, wherein a single resin bead only is coupled to one kind of heterocyclic compound.

124. The library according to claim 122, wherein said solid support is selected from the group consisting of the biocompatible PEG-based resins PEGA, POEPOP, SPOCC, POEPS, Tentagel®, and Jandagel®

125. A method of identifying a heterocyclic organic compound capable of associating with a cell surface molecule naturally expressed on the surface of a cell, said method comprising the steps of

i) Providing the library according to any of claims 112 to 124,

ii) Providing a composition comprising said cell surface molecule,

iii) Incubating said library with said composition

iv) Identifying heterocyclic compounds of said library capable of specifically associating with said cell surface molecule.

126. The method according to claim 125, wherein the cell surface molecule is associated with a clinical condition.

127. The method according to claim 125, wherein the cell surface molecule is associated with obesity.

128. The method according to claim 125, wherein the cell surface molecule is a protein.

129. The method according to claim 125, wherein the cell surface molecule is a receptor.

130. The method according to claim 125, wherein the cell surface molecule is a G-protein coupled receptor.

131. The method according to claim 125, wherein the cell surface molecule is the melanocortin receptor.

132. The method according to claim 125, wherein the cell surface molecule is linked to a detectable label.

133. The method according to claim 125, wherein the detectable label is selected from the group consisting of dyes, flourescent compounds, enzymes, heavy metals and radioactive groups.

134. Use of a heterocyclic organic compound identified according to the method according to any of claims 125 to 133 for the preparation of a medicament for the treatment of a clinical condition in an individual in need thereof.

135. Use according to claim 134, wherein said clinical condition is obesity.

136. Use acccording to claim 134, wherein said heterocyclic compound is a compound according to any of claims.

137. Use of a heterocyclic organic compound identified according to the method according to any of claims 125 to 133 for affinity chromatography.

138. Use of a heterocyclic organic compound identified according to the method according to any of claims 125 to 133 for affinity labelling.

139. A method of identifying a heterocyclic organic compound capable of acting as a protease inhibitor, said method comprising the steps of

i) Providing the library according to any of claims 114 to 124,

ii) Providing a peptide substrate of a protease,

iii) Providing a protease capable of cleaving said substrate

iv) Incubating said library with said peptide substrate and said protease

v) Identifying heterocyclic compounds of said library capable of specifically inhibiting cleavage of said substrate.

140. The method according to claim 139, wherein said peptide substrate is immobilised on a solid support.

141. The method according to claim 139, wherein the heterocyclic organic compounds and the peptide substrate are immobilised on resin beads, wherein each resin bead comprises one kind of heterocyclic compound and a peptide substrate.

142. The method according to claim 139, wherein cleavage of said peptide substrate may be monitored by a change in fluorescence.

143. Use of a heterocyclic organic compound identified by the method according to any of claims 139 to 142 as a protease inhibitor.